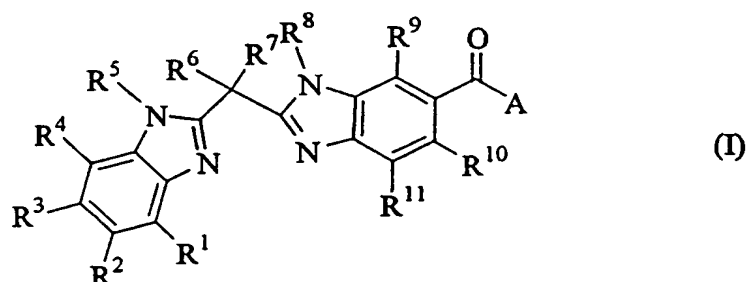


## CLAIMS

1. A compound of the general formula (I)



in which

$R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are identical or different and represent hydrogen, hydroxy or halogen,

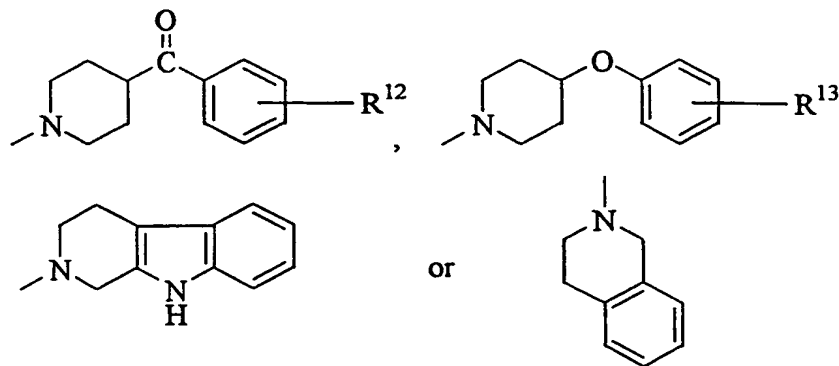
$R^5$  and  $R^8$  are identical or different and represent hydrogen, straight-chain or branched ( $C_1$ - $C_4$ )-alkyl,

$R^6$  and  $R^7$  are identical or different and represent hydrogen, straight-chain or branched ( $C_1$ - $C_6$ )-alkyl, hydroxy, halogen, or straight-chain or branched ( $C_1$ - $C_6$ )-alkoxy,

$R^9$ ,  $R^{10}$  and  $R^{11}$  are identical or different and represent hydrogen, halogen, nitro, cyano or trifluoromethyl,

and

A represents a residue of the formula



wherein

$R^{12}$  and  $R^{13}$  are identical or different and denote hydrogen, halogen, nitro, cyano, straight-chain or branched ( $C_1$ - $C_6$ )-alkyl or ( $C_1$ - $C_6$ )-alkoxy, or hydroxy,

or

A represents a non-aromatic 5- to 7-membered N-heterocycle which is bound over the nitrogen atom and which optionally contains an oxygen atom or a residue  $-NR^{14}$  or  $-CH-R^{15}$ ,

wherein  $R^{14}$  and  $R^{15}$  are identical or different and denote hydrogen,  $(C_3 - C_8)$ -cycloalkyl, or denotes straight-chain or branched  $(C_1 - C_4)$ -alkyl, which is optionally substituted by  $(C_6 - C_{10})$ -aryl,  
or denote  $(C_6 - C_{10})$ -aryl or a 5- or 6-membered aromatic or non-aromatic heterocycle having up to 3 heteroatoms from the series comprising N, S and/or O, and which, in the case of the non-aromatic heterocycle, is optionally bound over the nitrogen atom and wherein the aryl and the heterocycle are optionally mono- to tri-substituted by identical or different substituents from the series comprising halogen, nitro, cyano, hydroxy, trifluormethyl or a residue of the formula  $-NR^{16}R^{17}$ ,  
in which

$R^{16}$  and  $R^{17}$  are identical or different and denote hydrogen, straight-chain or branched  $(C_1 - C_4)$ -alkyl or  $(C_1 - C_4)$  acyl, or  $-SO_2-CF_3$ , or  $R^{16}$  and  $R^{17}$  form together with the nitrogen atom a non-aromatic 5- to 7-membered heterocycle, optionally further having an oxygen atom or  $-NH$ ,

or

$R^{14}$  denotes a residue of the formula  $-SO_2-R^{18}$ ,

in which

$R^{18}$  denotes  $(C_6 - C_{10})$ -aryl, or straight-chain or branched  $(C_1 - C_4)$ -alkyl,

or

A represents a residue of the formula  $-NR^{19}R^{20}$ ,

in which

$R^{19}$  denotes hydrogen or straight-chain or branched  $(C_1 - C_4)$ -alkyl,

$R^{20}$  denotes a residue of the formula  $-D-E-R^{21}$ ,

in which

D denotes a straight-chain or branched  $(C_1 - C_6)$ -alkyl chain,

E denotes an oxygen atom or a bond

and

$R^{21}$  denotes  $(C_6 - C_{10})$ -aryl or a 5- or 6-membered aromatic heterocycle having up to 3 heteroatoms from the series comprising N, S and/or O,

which are optionally mono- to tri-substituted by nitro, cyano, halogen, tetrazolyl or by a residue of the formula  $-NR^{22}R^{23}$ ,

in which

$R^{22}$  and  $R^{23}$  are identical or different and denote hydrogen, straight-chain or branched

(C<sub>1</sub> - C<sub>6</sub>)-acyl or (C<sub>1</sub> - C<sub>6</sub>)-alkyl, or R<sup>22</sup> denotes hydrogen and R<sup>23</sup> denotes -SO<sub>2</sub>-CF<sub>3</sub>, or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

2. A compound as claimed in claim 1

in which

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are identical or different and represent hydrogen, hydroxy or fluorine,

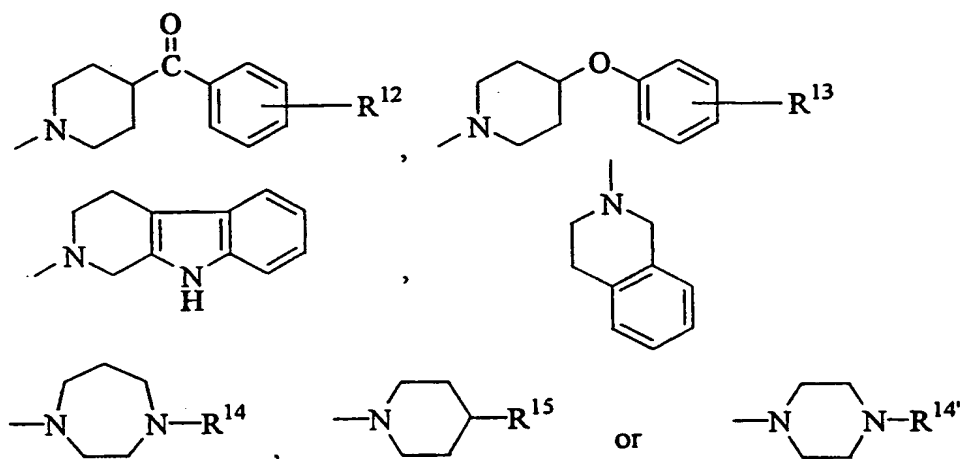
wherein at least one of the above mentioned substituents R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> or R<sup>4</sup> is different from hydrogen,

R<sup>5</sup> and R<sup>8</sup> are identical or different and represent hydrogen, methyl, ethyl or isopropyl,

R<sup>6</sup> and R<sup>7</sup> are identical or different and represent hydrogen, straight-chain or branched (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxy, or fluorine,

R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> are identical or different and represent hydrogen, fluorine, chlorine or cyano, and

A represents a residue of the formula



wherein

R<sup>12</sup> and R<sup>13</sup> are identical or different and denote hydrogen, fluorine, chlorine or cyano,

R<sup>14</sup>, R<sup>14'</sup> and R<sup>15</sup> are identical or different and denote hydrogen, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, or denote straight-chain or branched (C<sub>1</sub> - C<sub>3</sub>)-alkyl, which is optionally substituted by phenyl,

or denote phenyl, pyrimidyl, pyridyl or piperidinyl, which are optionally substituted by fluorine, chlorine, nitro, cyano or a residue of the formula -NR<sup>16</sup>R<sup>17</sup>,

in which

$R^{16}$  and  $R^{17}$  are identical or different and denote hydrogen, straight-chain or branched ( $C_1 - C_3$ )-alkyl or ( $C_1 - C_3$ )-acyl, or  $-SO_2-CF_3$ ,

or

$R^{14'}$  denotes a residue of the formula  $-SO_2-R^{18}$ ,

in which

$R^{18}$  denotes phenyl, or straight-chain or branched ( $C_1 - C_3$ )-alkyl,

or

A represents a residue of the formula  $-NR^{19}R^{20}$ ,

in which

$R^{19}$  denotes hydrogen, or straight-chain or branched ( $C_1 - C_3$ )-alkyl

and

$R^{20}$  denotes a residue of the formula  $D-E-R^{21}$ ,

in which

D denotes a straight-chain or branched ( $C_1 - C_3$ )-alkyl chain,

E denotes an oxygen atom or a bond

and

$R^{21}$  denotes phenyl or pyridyl, which are optionally monosubstituted or disubstituted by nitro, cyano, fluorine, chlorine, tetrazolyl or by a residue of the formula  $-NR^{22}R^{23}$ ,

in which

$R^{22}$  and  $R^{23}$  are identical or different and denote hydrogen, straight-chain or branched ( $C_1 - C_3$ )-acyl, or  $R^{22}$  denotes hydrogen and  $R^{23}$  denotes  $-SO_2-CF_3$ ,

or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

### 3. A compound as claimed in claim 1

in which

$R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are identical or different and represent hydrogen, hydroxy or fluorine,

wherein two or three of the above mentioned substituents  $R^1$ ,  $R^2$ ,  $R^3$  or  $R^4$  are different from hydrogen,

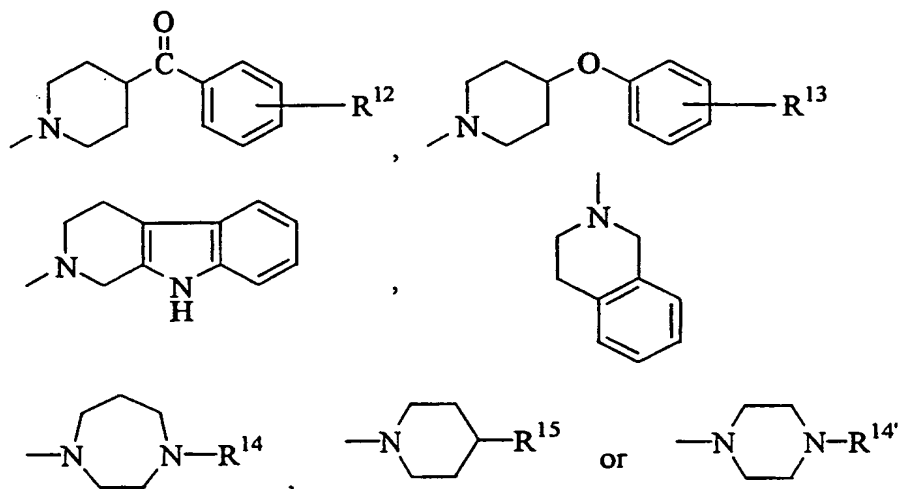
$R^5$  and  $R^8$  are identical or different and represent hydrogen, methyl or isopropyl,

$R^6$  and  $R^7$  are identical or different and represent hydrogen, or straight-chain or branched ( $C_1 - C_3$ )-alkyl, hydroxy, or fluorine,

$R^9$ ,  $R^{10}$  and  $R^{11}$  are identical or different and represent hydrogen or fluorine,

and

A represents a residue of the formula



wherein

$R^{12}$  and  $R^{13}$  are identical or different and denote hydrogen or fluorine

and

$R^{14}$ ,  $R^{14'}$  and  $R^{15}$  are identical or different and denote hydrogen, cyclopentyl, cyclohexyl, cycloheptyl, or denote straight-chain or branched ( $C_1 - C_3$ )-alkyl, which is optionally substituted by phenyl, or denote phenyl, pyrimidyl, pyridyl or piperidinyl, which are optionally substituted by fluorine, nitro, cyano or residue of a formula - $NR^{16}R^{17}$ ,

in which

$R^{16}$  and  $R^{17}$  are identical or different and denote hydrogen, straight-chain or branched ( $C_1 - C_3$ )-alkyl, or  $-SO_2-CF_3$ ,

or

$R^{14'}$  denotes a residue of the formula  $-SO_2-R^{18}$ ,

in which

$R^{18}$  denotes phenyl or methyl,

or

A represents a residue of the formula  $-NR^{19}R^{20}$ ,

in which

$R^{19}$  denotes hydrogen or methyl

and

$R^{20}$  denotes a residue of the formula  $-D-E-R^{21}$ ,

in which

D denotes a straight-chain or branched (C<sub>1</sub> - C<sub>4</sub>)-alkyl chain,

E denotes an oxygen atom or a bond

and

R<sup>21</sup> denotes phenyl or pyridyl, which are optionally monosubstituted or disubstituted by nitro, cyano, fluorine, tetrazolyl or by a residue of the formula

-NR<sup>22</sup>R<sup>23</sup>,

in which

R<sup>22</sup> and R<sup>23</sup> are identical or different and denote hydrogen, straight-chain or branched (C<sub>1</sub> - C<sub>3</sub>)-acyl, or R<sup>22</sup> denotes hydrogen and R<sup>23</sup> denotes -SO<sub>2</sub>-CF<sub>3</sub>,

or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

4. A compound as claimed in claim 1

in which

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are identical or different and represent hydrogen or fluorine,

wherein two or three of the above mentioned substituents R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> or R<sup>4</sup> are different from hydrogen,

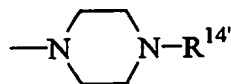
R<sup>5</sup> denotes hydrogen and R<sup>8</sup> denotes methyl,

R<sup>6</sup> and R<sup>7</sup> are identical or different and represent hydrogen, methyl or fluorine,

R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> are hydrogen,

and

A represents a residue of the formula



wherein

R<sup>14</sup> denotes phenyl which is optionally substituted by fluorine, cyano or -NHSO<sub>2</sub>CF<sub>3</sub>,

or

A represents a residue of the formula -NR<sup>19</sup>R<sup>20</sup>,

in which

R<sup>19</sup> denotes hydrogen,

R<sup>20</sup> denotes a residue of the formula -D-E-R<sup>21</sup>,

in which

D denotes  $(CH_2)_2$  - ,

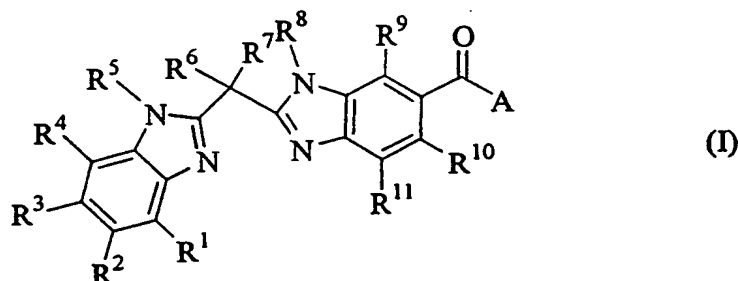
E denotes an oxygen atom

and

$R^{21}$  denotes phenyl which is optionally monosubstituted or disubstituted by fluorine or cyano,

or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

5. A process for the preparation of a compound of the general formula (I)



in which

$R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are identical or different and represent hydrogen, hydroxy or halogen,

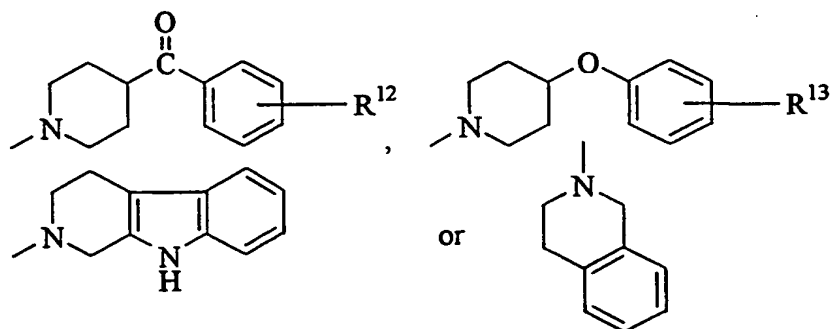
$R^5$  and  $R^8$  are identical or different and represent hydrogen, or straight-chain or branched ( $C_1$ - $C_4$ )-alkyl,

$R^6$  and  $R^7$  are identical or different and represent hydrogen, straight-chain or branched ( $C_1$ - $C_6$ )-alkyl, hydroxy, halogen, or straight-chain or branched ( $C_1$ - $C_6$ )-alkoxy,

$R^9$ ,  $R^{10}$  and  $R^{11}$  are identical or different and represent hydrogen, halogen, nitro, cyano or trifluoromethyl,

and

A represents a residue of the formula



wherein

$R^{12}$  and  $R^{13}$  are identical or different and denote hydrogen, halogen, nitro, cyano, straight-chain or branched ( $C_1 - C_6$ )-alkyl or ( $C_1 - C_6$ )-alkoxy, or hydroxy,

or

A represents a non-aromatic 5- to 7-membered N-heterocycle which is bound over the nitrogen atom and which optionally contains an oxygen atom or a residue  $-NR^{14}$  or  $-CH-R^{15}$ ,

wherein  $R^{14}$  and  $R^{15}$  are identical or different and denote hydrogen, ( $C_3 - C_8$ )-cycloalkyl, or denotes straight-chain or branched ( $C_1 - C_4$ )-alkyl, which is optionally substituted by ( $C_6 - C_{10}$ )-aryl,

or denote ( $C_6 - C_{10}$ )-aryl or a 5- or 6-membered aromatic or non-aromatic heterocycle having up to 3 heteroatoms from the series comprising N, S and/or O, and which, in the case of the non-aromatic heterocycle, is optionally bound over the nitrogen atom and wherein the aryl and the heterocycle are optionally mono- to tri-substituted by identical or different substituents from the series comprising halogen, nitro, cyano, hydroxy, trifluormethyl or a residue of the formula  $-NR^{16}R^{17}$ ,

in which

$R^{16}$  and  $R^{17}$  are identical or different and denote hydrogen, straight-chain or branched ( $C_1 - C_4$ )-alkyl or ( $C_1 - C_4$ ) acyl, or  $-SO_2-CF_3$ , or  $R^{16}$  and  $R^{17}$  form together with the nitrogen atom a non-aromatic 5- to 7-membered heterocycle, optionally further having an oxygen atom or  $-NH$ ,

or

$R^{14}$  denotes a residue of the formula  $-SO_2-R^{18}$ ,

in which

$R^{18}$  denotes ( $C_6 - C_{10}$ )-aryl, or straight-chain or branched ( $C_1 - C_4$ )-alkyl,

or

A represents a residue of the formula  $-NR^{19}R^{20}$ ,

in which

$R^{19}$  denotes hydrogen, or straight-chain or branched ( $C_1 - C_4$ )-alkyl,

$R^{20}$  denotes a residue of the formula  $-D-E-R^{21}$ ,

in which

D denotes a straight-chain or branched ( $C_1 - C_6$ )-alkyl chain,

E denotes an oxygen atom or a bond

and

$R^{21}$  denotes ( $C_6 - C_{10}$ )-aryl or a 5- or 6-membered aromatic heterocycle having up to 3



heteroatoms from the series comprising N, S and/or O,  
which are optionally mono- to tri-substituted by nitro, cyano, halogen, tetrazolyl or by  
a residue of the formula  $-NR^{22}R^{23}$ ,

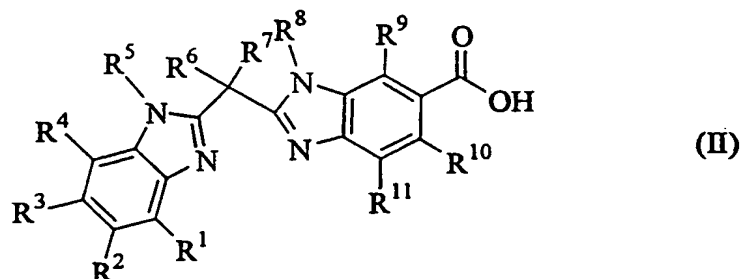
in which

$R^{22}$  and  $R^{23}$  are identical or different and denote hydrogen, straight-chain or branched  
( $C_1 - C_6$ )-acyl or ( $C_1 - C_6$ )-alkyl, or  $R^{22}$  denotes hydrogen and  $R^{23}$  denotes  $-SO_2-CF_3$ ,

or its salt

comprising that

[A] a compound of the general formula (II)



in which

$R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}$  and  $R^{11}$  have the above mentioned meaning,

or its reactive derivative on the carboxyl radical

is reacted in an inert solvent with a compound of the general formula (III)

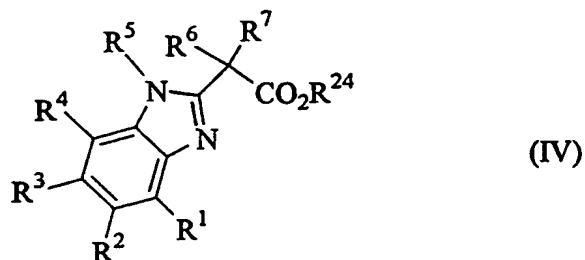


in which

A has the above mentioned meaning,

or

[B] a compound of the general formula (IV)

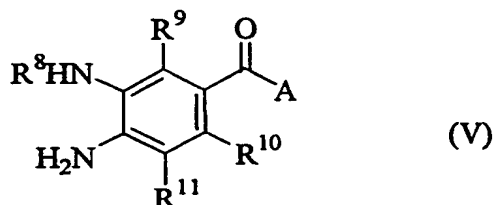


in which

$R^1, R^2, R^3, R^4, R^5, R^6$  and  $R^7$  have the above mentioned meaning, and  $R^{24}$  denotes straight-chain

or branched (C<sub>1</sub> - C<sub>6</sub>)-alkyl,

is reacted in an inert solvent with a compound of the general formula (V)



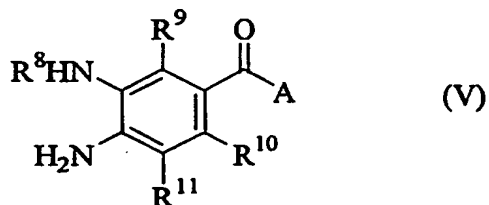
in which

R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and A have the above mentioned meaning,

or

[C] in the case where R<sup>6</sup> and R<sup>7</sup> are fluorine in the general formula (I),

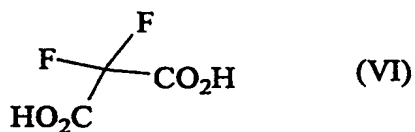
first a compound of the general formula (V)



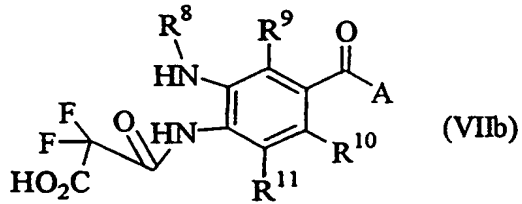
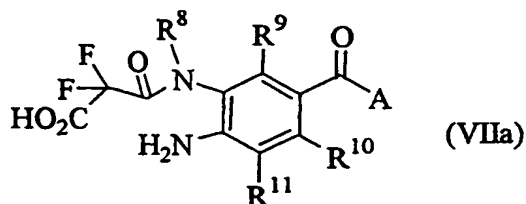
in which

R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and A have the above mentioned meaning,

is reacted with a compound of the formula (VI)



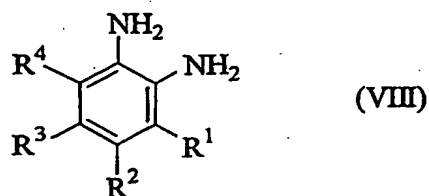
together with the system consisting of reagents which can facilitate this reaction in an inert solvent to prepare a compound of the general formula (VIIa and/or VIIb)



in which

R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and A have the above mentioned meaning,

and in the second step is reacted with a compound of the general formula (VIII)

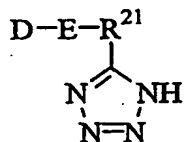


in which

$R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  have the above mentioned meaning,  
with the above mentioned system and finally with acetic acid,

or

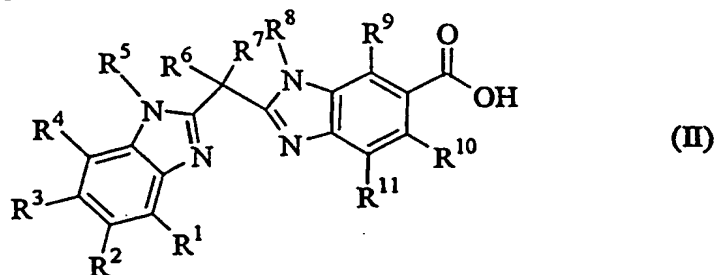
[D] in the case where A in the general formula (I) is a residue of the formula  $-NR^{19}R^{20}$  in which  $R^{19}$  is hydrogen and  $R^{20}$  is a residue of the following formula



in which

D, E and  $R^{21}$  have the above mentioned meaning,

a compound of the general formula (II)

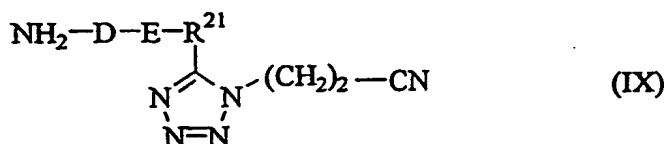


in which

$R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$  and  $R^{11}$  have the above mentioned meaning,

or its reactive derivative on the carboxyl radical

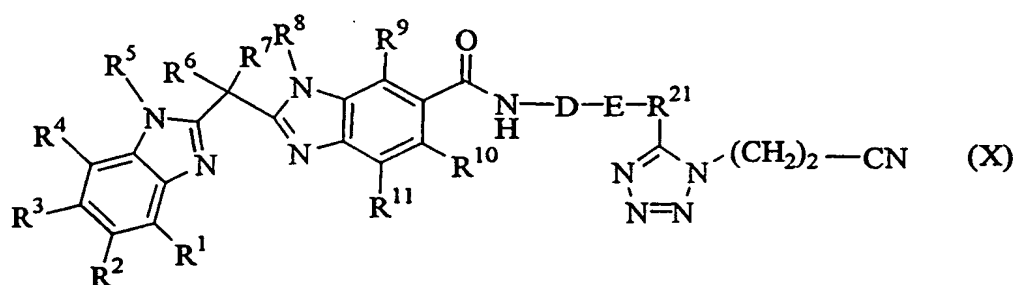
is reacted in an inert solvent with a compound of the general formula (IX)



in which

D, E and R<sup>21</sup> have the above mentioned meaning,

to prepare a compound of the general formula (X)

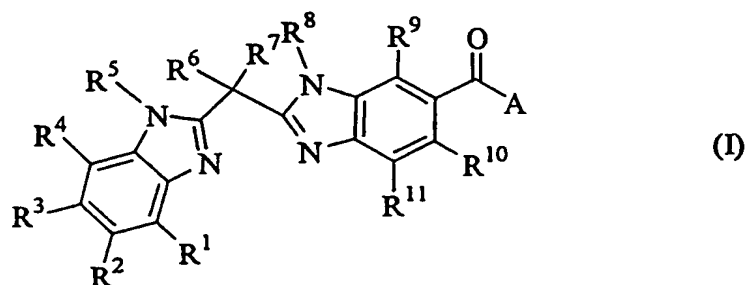


in which

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, R<sup>21</sup>, D and E have the above mentioned meaning,  
and in the last step the residue -(CH<sub>2</sub>)<sub>2</sub>-CN is eliminated in the presence of a base,  
or

[E] in the case where R<sup>6</sup> is fluorine or hydroxy and R<sup>7</sup> is alkyl in the general formula (I),  
a compound of the general formula (I) in which R<sup>6</sup> is hydrogen and R<sup>7</sup> is alkyl,  
is reacted first in the system of NaIO<sub>4</sub> and RuCl<sub>3</sub> in an inert solvent to prepare a compound of the  
general formula (I), in which R<sup>6</sup> is hydroxy, and optionally in the second step is reacted with  
(C<sub>2</sub>H<sub>5</sub>)<sub>3</sub>NSF<sub>3</sub> in an inert solvent to prepare a fluorine substituted derivative  
and further optionally in the case of R<sup>5</sup> and/or R<sup>8</sup> is not hydrogen, followed by alkylation  
reaction.

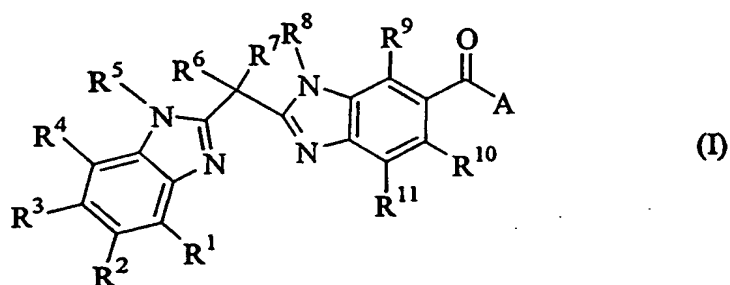
6. A pharmaceutical composition containing a compound of the general  
formula (I)



in which

$R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}$  and A are the same meanings defined in claim 1, or its tautomeric or stereoisomeric form, or its physiologically acceptable salt as an active ingredient and a pharmaceutically acceptable carrier.

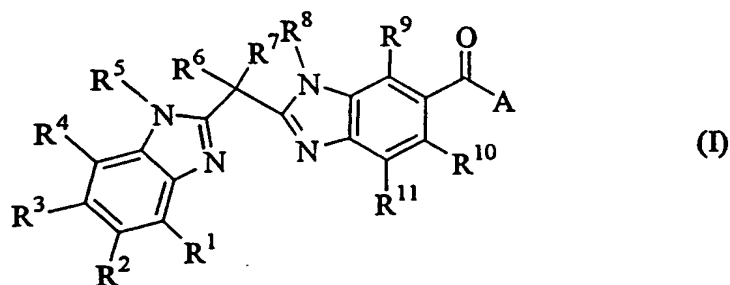
7. A method of treating diseases associated with tryptase activity which comprises administering to a patient an effective amount of a compound of the general formula (I)



in which

$R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}$  and A are the same meanings defined in claim 1, or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

8. A method of treating asthma, allergic rhinitis, allergic conjunctivitis or allergic dermatitis which comprises administering to a patient an effective amount of a compound of the general formula (I)

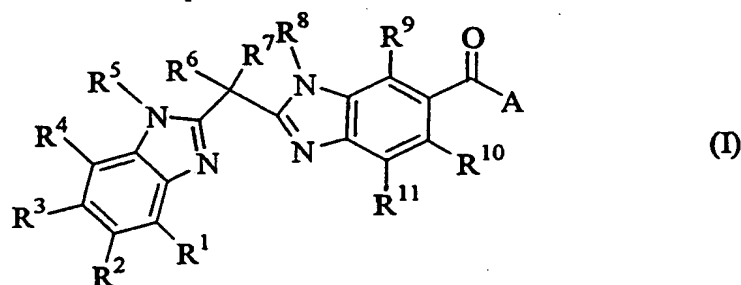


in which

$R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}$  and A are the same meanings defined in claim 1,

or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

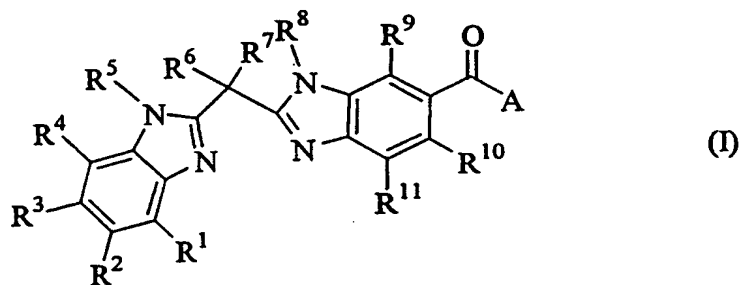
9. Use of a compound of the general formula (I)



in which

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and A are the same meanings defined in claim 1, or its tautomeric or stereoisomeric form, or its physiologically acceptable salt for treating diseases associated with tryptase activity.

10. Use of a compound of the general formula (I)



in which

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and A are the same meanings defined in claim 1, or its tautomeric or stereoisomeric form, or its physiologically acceptable salt for treating asthma, allergic rhinitis, allergic conjunctivitis or allergic dermatitis.